

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2	("6251895").PN.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 19:48
L2	8	olanzapine NEAR20 propylene	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:15
L3	7	"7078526"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:30
L4	858	((514/254.07) or (544/366)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:14
L5	0	s l4 and (crystal or crystalline or \$crystal)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:15
L6	4	l4 and olanzapine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:15
L7	34	l4 and (naproxen)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:15
L8	22	l4 and (cortisone)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:16

EAST Search History

L9	47	I6 or I7 or I8	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:16
L10	31	I9 and glycol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:17
L11	19	I9 and propylene glycol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:17
L12	3	"7186863"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 21:33
L13	10	((("4008321") or ("6420394") or ("5641512") or ("4853379")).PN.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/03/18 21:34
S1	642	(tawa).inv.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 13:40
S2	8	((MARK) near2 (TAWA)).INV.	US-PGPUB; USPAT	NEAR	ON	2007/03/18 15:16
S3	40	((ORN) near2 (ALMARSSON)).INV.	US-PGPUB; USPAT	NEAR	ON	2007/03/18 14:26
S4	19	((JULIUS) near2 (REMENAR)).INV.	US-PGPUB; USPAT	NEAR	ON	2007/03/18 13:41
S5	7	((MARK) near2 (TAWA)).INV.	EPO; JPO; DERWENT	NEAR	ON	2007/03/18 13:41
S6	22	((ORN) near2 (ALMARSSON)).INV.	EPO; JPO; DERWENT	NEAR	ON	2007/03/18 13:41
S7	18	((JULIUS) near2 (REMENAR)).INV.	EPO; JPO; DERWENT	NEAR	ON	2007/03/18 13:41

EAST Search History

S8	5	"6,723,728"	US-PGPUB; USPAT	NEAR	ON	2007/03/18 14:32
S9	198	(bunnell).inv.	US-PGPUB; USPAT	NEAR	ON	2007/03/18 14:33
S10	320	(bunnell).inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 14:33
S11	18	S10 and polymorph\$	US-PGPUB; USPAT; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 14:33
S12	60524	polymorph\$	US-PGPUB; USPAT	NEAR	ON	2007/03/18 15:16
S13	162162	propylene glycol	US-PGPUB; USPAT	NEAR	ON	2007/03/18 15:17
S14	12147	S12 and S13	US-PGPUB; USPAT	NEAR	ON	2007/03/18 15:17
S15	25	(propylene glycol) NEAR20 polymorph\$	US-PGPUB; USPAT	NEAR	ON	2007/03/18 18:17
S16	1629	olanzapine	US-PGPUB; USPAT	NEAR	ON	2007/03/18 18:17
S17	1838	olanzapine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 18:18
S18	25	(propylene glycol) NEAR20 polymorph\$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 18:19
S19	1	S18 and S17	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 18:19
S20	12203	(propylene glycol)and polymorph\$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 18:19

EAST Search History

S21	422	S20 and S17	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 18:20
S22	52	olanzapine NEAR20 crystalline	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 20:10
S23	14	S21 and S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2007/03/18 19:48

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(FILE 'HOME' ENTERED AT 20:15:30 ON 18 MAR 2007)

FILE 'HCAPLUS' ENTERED AT 20:15:38 ON 18 MAR 2007

 E TAWA M/AU 25
L1 13 S (E4 OR E5)
 E ALMARSSON O/AU 25
L2 91 S (E3 OR E4 OR E5 OR E6)
 E REMENAR J/AU 25
L3 5 S (E3 OR E4)
L4 101 S L1-L3
 E PROPYLENE GLYCOL+ALL/CT
L5 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUN
L6 17 S L4 AND L5
 E "132539-06-1"/BI,RN 25
L7 1927 S E3 OR E5 OR E6 OR E7
L8 2 S L6 AND L7
 E OLANZAPINE+ALL/CT
L9 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS") OR "ORGANIC COMPOUNDS" O
L10 6 S L9 AND L4
L11 4 S L10 NOT L8

L11 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:286797 HCAPLUS <<LOGINID::20070318>>
 TITLE: Pharmaceutical co-crystal compositions of drugs such as carbamazepine, celecoxib, olanzapine, itraconazole, topiramate, modafinil, 5-fluorouracil, hydrochlorothiazide, acetaminophen, aspirin, flurbiprofen, phenytoin and ibuprofen
 INVENTOR(S): Almarsson, Oern; Bourghol Hickey, Magali; Peterson, Matthew; Zaworotko, Michael J.; Moulton, Brian; Rodriguez-Hornedo, Nair
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 92pp., Cont.-in-part of U.S. Ser. No. 601,092.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 18
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007059356	A1	20070315	US 2005-546963	20050826
WO 2003074474	A2	20030912	WO 2003-US6662	20030303
WO 2003074474	A3	20031218		
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US 2004019211	A1	20040129	US 2003-449307	20030530
US 7078526	B2	20060718		
WO 2004000284	A1	20031231	WO 2003-US19574	20030620
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WO 2004078161	A1	20040916	WO 2003-US27772	20030904
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WO 2004063152 A2 20040729 WO 2004-US400 20040108

WO 2004063152 A3 20041111

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WO 2004078163 A2 20040916 WO 2004-US6288 20040226

WO 2004078163 A3 20050120

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 GQ, GW, ML, MR, NE, SN, TD, TG

US 2006140985 A1 20060629 US 2006-541703 20060320

PRIORITY APPLN. INFO.:

US 2002-384152P P 20020531

US 2002-390881P P 20020621

US 2002-426275P P 20021114

US 2002-427086P P 20021115

US 2002-429515P P 20021126

US 2002-437516P P 20021230

US 2003-439282P P 20030110

US 2003-444315P P 20030131

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US 2003-508208P P 20031002

WO 2003-US41273 A 20031224

WO 2004-US400 W 20040108

US 2004-542752P P 20040206

AB A pharmaceutical composition comprising a co-crystal of an API and a co-crystal former; wherein the API has at least one functional group selected from

ether, thioether, alc., thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphinic acid, phosphonic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, imine, thiocyanate, cyanamide, oxime, nitrile diazo, organohalide, nitro, S-heterocyclic ring, thiophene, N-heterocyclic ring, pyrrole, O-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, pyridine and the co-crystal former has at least one functional group selected from amine, amide, pyridine, imidazole, indole, pyrrolidine, carbonyl, carboxyl, hydroxyl, phenol, sulfone, sulfonyl, mercapto and Me thio, such that the API and co-crystal former are capable of co-crystallizing from a solution phase under crystallization conditions.

=> d l11 ibib abs hitstr 2-4

L11 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:754425 HCAPLUS <<LOGINID::20070318>>
 DOCUMENT NUMBER: 141:282789
 TITLE: Pharmaceutical cocrystals of active ingredients
 INVENTOR(S): Almarsson, Oern; Bourghol Hickey, Magali;
 Peterson, Matthew; Moulton, Brian; Rodriguez-Hornedo,
 Nair
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA; University of
 South Florida; The Regents of the University of
 Michigan; Zaworotko, Michael J.
 SOURCE: PCT Int. Appl., 561 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 18
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078163	A2	20040916	WO 2004-US6288	20040226
WO 2004078163	A3	20050120		
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 CA 2514733 A1 20040916 CA 2004-2514733 20040226
 EP 1631260 A2 20060308 EP 2004-715190 20040226
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 WO 2004089313 A3 20051124
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WO 2003-US327772	A	20030904
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US 2004-590590P	P	20040723
US 2004-926842	A	20040826
WO 2004-US28456	W	20040901
WO 2004-US29013	W	20040904

AB A pharmaceutical composition comprises a cocrystal of an active pharmaceutical ingredient (API) and a cocrystal former hydrogen bonded to each other, wherein the API has at least 1 functional group selected om, e.g., ether, thioether, alc., thiol, aldehyde, ketone, thioketone, ester, carboxylic acid, amine, ammonia, imine, thiocyanate, cyanamide, oxime, nitro, S-heterocyclic ring, N-heterocyclic ring, or pyrrole and the co-crystal former has at least 1 functional group selected om, e.g., amine, amide, pyridine, imidazole, indole, pyrrolidine, carbonyl, carboxyl, hydroxyl, phenol, or sulfone, such that the API and cocrystal former are capable of cocrystg. om a solution phase under crystallization conditions. The co-crystals have better solubility, dose response, dissoln., bioavailability, stability or hygroscopicity than the API. Thus, co-crystals of celecoxib and nicotinamide (1:1 molar ratio) were prepared by mixing the acetone solution of the 2 and allowing the solution to evaporate slowly overnight.

L11 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:754423 HCAPLUS <<LOGINID::20070318>>
DOCUMENT NUMBER: 141:282787
TITLE: Pharmaceutical cocrystal compositions of drugs such as carbamazepine, celecoxib, and olanzapine
INVENTOR(S): Almarsson, Oern; Bourghol Hickey, Magali; Peterson, Matthew; Zaworotko, Michael J.; Moulton, Brian; Rodriguez-Hornedo, Nair
PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA; University of South Florida; The Regents of the University of Michigan
SOURCE: PCT Int. Appl., 489 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

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US 2004-588236P	P 20040715
US 2004-590590P	P 20040723
WO 2004-US29013	W 20040904

AB A pharmaceutical composition comprising a cocrystal of an active pharmaceutical ingredient (API) and a cocrystal forming compound wherein the API has at least 1 functional group selected from, e.g., ether, thioether, alc., thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, amine, secondary amine, ammonia, imidazole, or pyridine and the co-crystal forming compound has at least 1 functional group selected from e.g., amine, amide, pyridine, imidazole, indole, pyrrolidine, carbonyl, carboxyl, hydroxyl, phenol, or sulfone,, such that the API and cocrystal forming compound are capable of co-crystallizing from a solution phase under crystallization conditions. Thus, carbamazepine and p-phthalaldehyde were dissolved in MeOH and slow evaporation of the solvent gave 1:1 carbamazepine-p-phthalaldehyde cocrystals. The cocrystals were characterized by powder x-ray diffraction, DSC and IR spectrometry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:2673 HCAPLUS <<LOGINID::20070318>>

DOCUMENT NUMBER: 140:65197

TITLE: Pharmaceutical compositions with improved dissolution

INVENTOR(S): Remenar, Julius; Peterson, Matthew; Almarsson, Orn; Guzman, Hector; Chen, Hongming; Tawa, Mark; Olivera, Mark

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2006134198	A1	20060622	US 2005-541216	20050629
US 2007059356	A1	20070315	US 2005-546963	20050826
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US 2002-232589	A	20020903
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WO 2004-US400	W	20040108
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WO 2004-US6288	W	20040226
WO 2004-US9947	W	20040331

AB The invention relates to methods of screening mixts. containing a pharmaceutical compound an excipient to identify properties of the pharmaceutical compound/excipient combination that retard solid-state nucleation. The invention further relates to increasing the solubility, dissoln. and bioavailability of a drug with low solubility in gastric fluids conditions by combining the drug with a recrystn./precipitation retardant and an optional enhancer. Thus, celecoxib sodium salt was prepared by dissolving celecoxib in 1N NaOH solution The product was characterized by PXRD, DSC and TGA.

L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:63611 HCAPLUS <<LOGINID::20070318>>

DOCUMENT NUMBER: 146:148846

TITLE: Pharmaceutical propylene glycol
solvate compositions and method for preparation
thereofINVENTOR(S): Tawa, Mark; Almarsson, Orn;
Remenar, Julius

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of Appl.
No. PCT/US03/41273.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

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US 2003166581	A1	20030904	US 2002-295995	20021118
US 6699840	B2	20040302		
US 2003224006	A1	20031204	US 2003-378956	20030303
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WO 2004078161	A1	20040916	WO 2003-US27772	20030904
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			WO 2004-US400	W 20040108
			WO 2004-US6288	A 20040226
			US 2004-548343P	P 20040227

AB The present invention provides a pharmaceutical composition comprising a propylene glycol solvate of a drug which is hygroscopic or has low aqueous solubility. It has surprisingly been found that by using propylene glycol to form a solvate of a hygroscopic

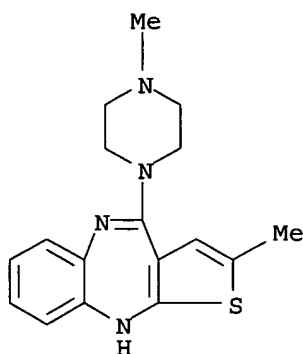
drug, the hygroscopicity of the drug is decreased and/or the stability and aqueous solubility is increased. The drug is therefore much easier to formulate and store than its counterpart untreated or hydrated form.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical propylene glycol solvate compns.
and method for preparation thereof)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



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L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:589401 HCAPLUS <<LOGINID::20070318>>

DOCUMENT NUMBER: 141:128859

TITLE: Pharmaceutical propylene glycol
solvate compositions

INVENTOR(S): Tawa, Mark; Almarsson, Oern;
Remenar, Julius

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 317 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

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WO 2004061433 A1 20040722 WO 2003-US41273 20031224

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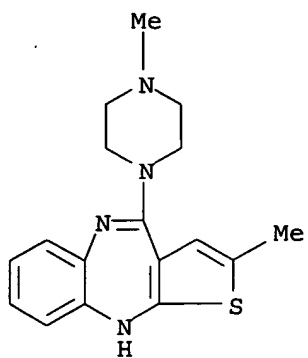
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WO 2004-US6288	A	20040226
US 2004-548343P	P	20040227
WO 2004-US9947	W	20040331

AB The invention relates to pharmaceutical compns. comprising propylene glycol solvates of active pharmaceutical ingredients (APIs) which are hygroscopic or has low aqueous solubility. The composition comprises solvate characterized by (i) the mole ratio of propylene glycol to API in the range of 0.25 to 2; (ii) a crystalline form, (iii) a powder X-ray diffraction spectrum which differs from the corresponding powder X-ray diffraction spectrum of the unsolvated API by at least one property, (iv) stability to temps. of up to 50° under a stream of gas in a thermogravimetric anal. apparatus, (v) the API is optionally in the form of a metal salt, such as an alkali or an alkaline earth metal salt, (vi) the API has low aqueous solubility and is selected from steroid drugs, and (vii) the composition further comprises a pharmaceutically-acceptable diluent, excipient or carrier. A method for preparing a propylene glycol solvate of an API comprises (a) contacting propylene glycol with an API in solution, (b) crystallizing a propylene glycol solvate of the API from the solution, and (c) isolating the solvate. For example, to a solution of celecoxib (253 mg, 0.664 mmol) in di-Et ether (6 mL) was added propylene glycol (0.075 mL, 102 mmol). To the clear solution was added potassium t-butoxide in THF (1 M, 0.66 mL, 0.66 mmol). Crystals immediately began to form and after 5 min the solid had completely crystallized. The crystalline salt form was found to be a 1:1 propylene glycol solvate of celecoxib potassium salt.

IT 132539-06-1, Olanzapine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and compns. of propylene glycol solvates
 with hygroscopic or low soluble drugs)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



10747742>19/03/2007

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=> d his

(FILE 'HOME' ENTERED AT 20:15:30 ON 18 MAR 2007)

FILE 'HCAPLUS' ENTERED AT 20:15:38 ON 18 MAR 2007

E TAWA M/AU 25

L1 13 S (E4 OR E5)

E ALMARSSON O/AU 25

L2 91 S (E3 OR E4 OR E5 OR E6)

E REMENAR J/AU 25

L3 5 S (E3 OR E4)

L4 101 S L1-L3

E PROPYLENE GLYCOL+ALL/CT

L5 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUNDS")

L6 17 S L4 AND L5

E "132539-06-1"/BI,RN 25

L7 1927 S E3 OR E5 OR E6 OR E7

L8 2 S L6 AND L7

E OLANZAPINE+ALL/CT

L9 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS") OR "ORGANIC COMPOUNDS" O

L10 6 S L9 AND L4

L11 4 S L10 NOT L8

E CORTISONE ACETATE+ALL/CT

FILE 'HCAPLUS' ENTERED AT 20:41:20 ON 18 MAR 2007

E OLANZAPINE+ALL/CT

L12 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUNDS" OR

E "132539-06-1"/BI,RN 25

L13 1927 S E3 OR E5 OR E6 OR E7

L14 40 S L13 NOT L12

=> s l12-13

L15 160654 (L12 OR L13)

=> s (propylene glycol OR "Chemical compounds" OR "Organic compounds" OR "Hydroxy compounds" OR "Alcohols" OR "Glycols" OR "Propylene glycol" OR "1,2-Propanediol" OR "Antiperspirants" OR "Cryoprotectants")

185841 PROPYLENE

300 PROPYLENES

185936 PROPYLENE

(PROPYLENE OR PROPYLENES)

366912 GLYCOL

46457 GLYCOLS

382891 GLYCOL
 (GLYCOL OR GLYCOLS)
 48456 PROPYLENE GLYCOL
 (PROPYLENE (W) GLYCOL)
 916561 "CHEMICAL"
 51827 "CHEMICALS"
 960522 "CHEMICAL"
 ("CHEMICAL" OR "CHEMICALS")
 1604909 "CHEM"
 76617 "CHEMS"
 1648139 "CHEM"
 ("CHEM" OR "CHEMS")
 2277385 "CHEMICAL"
 ("CHEMICAL" OR "CHEM")
 861440 "COMPOUNDS"
 4 "COMPOUNDSES"
 861444 "COMPOUNDS"
 ("COMPOUNDS" OR "COMPOUNDSES")
 14300 "CHEMICAL COMPOUNDS"
 ("CHEMICAL" (W) "COMPOUNDS")
 377082 "ORGANIC"
 3874 "ORGANICS"
 379584 "ORGANIC"
 ("ORGANIC" OR "ORGANICS")
 1007503 "ORG"
 15693 "ORGS"
 1013189 "ORG"
 ("ORG" OR "ORGS")
 1118147 "ORGANIC"
 ("ORGANIC" OR "ORG")
 861440 "COMPOUNDS"
 4 "COMPOUNDSES"
 861444 "COMPOUNDS"
 ("COMPOUNDS" OR "COMPOUNDSES")
 82370 "ORGANIC COMPOUNDS"
 ("ORGANIC" (W) "COMPOUNDS")
 452634 "HYDROXY"
 11 "HYDROXIES"
 452645 "HYDROXY"
 ("HYDROXY" OR "HYDROXIES")
 861440 "COMPOUNDS"
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 171126 "ALCOHOLS"
 46457 "GLYCOLS"
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 300 "PROPYLENES"
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 46457 "GLYCOLS"
 382891 "GLYCOL"
 ("GLYCOL" OR "GLYCOLS")
 48456 "PROPYLENE GLYCOL"
 ("PROPYLENE" (W) "GLYCOL")
 9058067 "1"
 9087055 "2"
 35551 "PROPANEDIOL"
 846 "PROPANEDIOLS"
 35793 "PROPANEDIOL"
 ("PROPANEDIOL" OR "PROPANEDIOLS")

16586 "1,2-PROPANEDIOL"
 ("1"(W)"2"(W)"PROPANEDIOL")
 2572 "ANTIPERSPIRANTS"
 2845 "CRYOPROTECTANTS"
 L16 358118 (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUNDS"
 OR "HYDROXY COMPOUNDS" OR "ALCOHOLS" OR "GLYCOLS" OR "PROPYLENE
 GLYCOL" OR "1,2-PROPANEDIOL" OR "ANTIPERSPIRANTS" OR "CRYOPROTEC
 TANTS")

=> s l15 and l16
 L17 99070 L15 AND L16

=> s solvate
 9954 SOLVATE
 5868 SOLVATES
 L18 14504 SOLVATE
 (SOLVATE OR SOLVATES)

=> s l18 and l17
 L19 66 L18 AND L17

=> s diffraction
 448362 DIFFRACTION
 1516 DIFFRACTIONS
 L20 449041 DIFFRACTION
 (DIFFRACTION OR DIFFRACTIONS)

=> s l20 and l19
 L21 7 L20 AND L19

=> d l21 ibib abs hitstr

L21 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1181576 HCAPLUS
 DOCUMENT NUMBER: 145:183555
 TITLE: Crystallization in final stages of purification
 AUTHOR(S): Florence, Alastair J.; Shankland, Norman; Johnston,
 Andrea
 CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of
 Strathclyde, Glasgow, UK
 SOURCE: Methods in Biotechnology (2005), 20(Natural Products
 Isolation (2nd Edition)), 275-295
 CODEN: MEBIFQ
 PUBLISHER: Humana Press Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Methods are described for the laboratory-scale crystallization of "small" organic compds.
 The process of crystallization from solution can be used as a purification step in its
 own
 right, or to produce crystals for mol. structure determination by single-crystal
 or powder x-ray diffraction. Both aspects are discussed, with
 particular emphasis on growing crystals for structure determination in natural
 product chemical. The processes detailed for the slow growth of
 diffraction-quality crystals include solvent selection and solution
 supersatn. by evaporation, cooling, liquid/vapor diffusion, and thermal gradient
 methods. Common problems and solns., including solid-state polymorphism
 and solvate formation, are highlighted and modern approaches to
 parallel crystallization and crystal structure determination from x-ray powder
 diffraction data are also introduced.
 REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l21 ibib abs hitstr 2-7

L21 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:589401 HCAPLUS
 DOCUMENT NUMBER: 141:128859
 TITLE: Pharmaceutical propylene glycol
 solvate compositions
 INVENTOR(S): Tawa, Mark; Almarsson, Oern; Remenar, Julius
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 317 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 18
 PATENT INFORMATION:

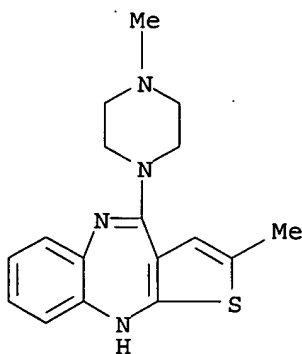
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060347	A2	20040722	WO 2003-US41642	20031229
WO 2004060347	A3	20041104		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6559293	B1	20030506	US 2002-232589	20020903
WO 2004000284	A1	20031231	WO 2003-US19574	20030620
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005025791	A1	20050203	US 2003-601092	20030620
WO 2004026235	A2	20040401	WO 2003-US28982	20030916
WO 2004026235	A3	20040805		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2004061433	A1	20040722	WO 2003-US41273	20031224
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,			

	TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
AU 2003300452	A1 20040729	AU 2003-300452 20031229
WO 2004089313	A2 20041021	WO 2004-US9947 20040331
WO 2004089313	A3 20051124	
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,	
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
US 2006223794	A1 20061005	US 2005-551014 20050929
US 2006140985	A1 20060629	US 2006-541703 20060320
PRIORITY APPLN. INFO.:		US 2002-232589 A 20020903
		US 2002-437516P P 20021230
		US 2003-441335P P 20030121
		US 2003-456027P P 20030318
		US 2003-456608P P 20030321
		US 2003-459501P P 20030401
		US 2003-601092 A 20030620
		WO 2003-US19574 A 20030620
		US 2003-486713P P 20030711
		WO 2003-US28982 A 20030916
		WO 2003-US41273 A 20031224
		US 2002-356764P P 20020215
		US 2002-360768P P 20020301
		US 2002-380288P P 20020515
		US 2002-384152P P 20020531
		US 2002-390881P P 20020621
		US 2002-406974P P 20020830
		US 2002-412459P P 20020920
		US 2002-426275P P 20021114
		US 2002-427086P P 20021115
		US 2002-295995 A3 20021118
		US 2002-428515P P 20021122
		US 2002-429515P P 20021126
		US 2003-439282P P 20030110
		US 2003-439283P P 20030110
		US 2003-444315P P 20030131
		US 2003-451213P P 20030228
		US 2003-378956 A 20030303
		US 2003-463962P P 20030418
		US 2003-449307 A 20030530
		US 2003-487064P P 20030711
		US 2003-637829 A 20030808
		WO 2003-US27772 A2 20030904
		US 2003-660202 A2 20030911
		US 2003-747742 A 20031229
		US 2004-747742 A1 20031229
		WO 2003-US341642 A 20031229
		WO 2003-US41642 W 20031229
		WO 2004-US400 W 20040108
		WO 2004-US6288 A 20040226
		US 2004-548343P P 20040227
		WO 2004-US9947 W 20040331

AB The invention relates to pharmaceutical compns. comprising propylene glycol solvates of active pharmaceutical ingredients (APIs) which are hygroscopic or has low aqueous solubility The composition comprises solvate characterized by (i) the mole ratio of propylene glycol to API in the range of

0.25 to 2; (ii) a crystalline form, (iii) a powder X-ray diffraction spectrum which differs from the corresponding powder X-ray diffraction spectrum of the unsolvated API by at least one property, (iv) stability to temps. of up to 50° under a stream of gas in a thermogravimetric anal. apparatus, (v) the API is optionally in the form of a metal salt, such as an alkali or an alkaline earth metal salt, (vi) the API has low aqueous solubility and is selected from steroid drugs, and (vii) the composition further comprises a pharmaceutically-acceptable diluent, excipient or carrier. A method for preparing a propylene glycol solvate of an API comprises (a) contacting propylene glycol with an API in solution, (b) crystallizing a propylene glycol solvate of the API from the solution, and (c) isolating the solvate. For example, to a solution of celecoxib (253 mg, 0.664 mmol) in di-Et ether (6 mL) was added propylene glycol (0.075 mL, 102 mmol). To the clear solution was added potassium t-butoxide in THF (1 M, 0.66 mL, 0.66 mmol). Crystals immediately began to form and after 5 min the solid had completely crystallized. The crystalline salt form was found to be a 1:1 propylene glycol solvate of celecoxib potassium salt.

IT 132539-06-1, Olanzapine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and compns. of propylene glycol
 solvates with hygroscopic or low soluble drugs)
 RN 132539-06-1 HCAPLUS
 CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



L21 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:287847 HCAPLUS
 DOCUMENT NUMBER: 137:161650
 TITLE: Energy landscape paving for X-ray structure
 determination of organic molecules
 AUTHOR(S): Hsu, Hsiao Ping; Lin, Simon C.; Hansmann, Ulrich H. E.
 CORPORATE SOURCE: Academia Sinica, Computing Centre, Taipei, Taiwan
 SOURCE: Acta Crystallographica, Section A: Foundations of
 Crystallography (2002), A58(3), 259-264
 CODEN: ACACEQ; ISSN: 0108-7673
 PUBLISHER: Blackwell Munksgaard
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The efficiency of a recently proposed novel global optimization method,
 energy landscape paving (ELP), is evaluated with regard to the problem of
 crystal structure determination from simulated x-ray diffraction data
 comprising integrated diffraction intensities. The new approach
 was tested using the example of 9-(methylamino)-1H-phenalen-1-one
 1,4-dioxan-2-yl hydroperoxide solvate (C₁₄H₁₁NO·C₄H₈O₄).

The results indicate that, for this example, ELP outperforms standard techniques such as simulated annealing.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:86182 HCAPLUS

DOCUMENT NUMBER: 136:189980

TITLE: Molecular complexes of C70 with arenes: DSC and X-ray diffraction studies

AUTHOR(S): Troshin, P. A.; Prisyazhnuk, V. V.; Troyanov, S. I.; Boltalina, O. V.; Mackeyev, Y. A.; Kyrikova, M. A.

CORPORATE SOURCE: Chemistry Department, Moscow State University, Moscow, 119899, Russia

SOURCE: Proceedings - Electrochemical Society (2001), 2001-11(Fullerenes--Volume 11: Fullerenes for the New Millennium), 548-558

CODEN: PESODO; ISSN: 0161-6374

PUBLISHER: Electrochemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Isolation and systematic DSC study of the C70 solvates with benzene, toluene, xylenes and mesitylene were performed in this work. Comps. of all complexes were estimated using thermal gravimetry. Enthalpies and temps. of decomposition and incongruent melting transitions of the solvates were determined from the DSC measurements. It was found that crystallization of C70 from benzene and xylenes results in the formation of both C70·(arene) and C70·2(arene) complexes. In contrast, only 1:1 solvate with toluene and 1:2 complex with mesitylene were isolated under the same conditions and characterized; at the same time, C70 forms two different 1:3 solvates with o-xylene at low temps. Thermal stability of the solvates varies in a very wide range: the least stable solvate decomp. at -4 °C, whereas the mesitylene complex loses the arene mols. at 240 °C. X-ray single crystal diffraction study resulted in the determination of the unit cell parameters for some C70·n(arene) complexes and the packing motif for the crystal structure of C70·(toluene).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:806440 HCAPLUS

DOCUMENT NUMBER: 136:175721

TITLE: Structure determination of organic molecules from diffraction data by simulated annealing

AUTHOR(S): Hsu, Hsiao-Ping; Hansmann, Ulrich H. E.; Lin, Simon C.

CORPORATE SOURCE: Computing Centre, Academia Sinica, Nankang, Taipei, 11529, Taiwan

SOURCE: Physical Review E: Statistical, Nonlinear, and Soft Matter Physics (2001), 64(5-2), 056707/1-056707/6

CODEN: PRESCM

PUBLISHER: American Physical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Simulated annealing techniques for crystal structure determination from diffraction data were studied. For this problem the efficiency of simulated annealing can be systematically improved by an iterative simulation protocol. The approach is tested for the example of 9-(methylamino)-1H-phenalen-1-one-1,4-dioxan-2-yl hydroperoxide solvate (C18H19NO5).

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:842474 HCAPLUS
 DOCUMENT NUMBER: 134:121342
 TITLE: Zeolite-Like Sorption of Volatile Organics in β -[CuL2] (L = {CF₃COCHCOC(CH₃)₂OCH₃}-)
 AUTHOR(S): Manakov, A. Yu.; Soldatov, D. V.; Ripmeester, J. A.; Lipkowski, J.
 CORPORATE SOURCE: Institute of Inorganic Chemistry, Russian Academy of Sciences, Novosibirsk, 630090, Russia
 SOURCE: Journal of Physical Chemistry B (2000), 104(51), 12111-12118
 CODEN: JPCBPK; ISSN: 1089-5647
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The β -form of the title copper(II) acetylacetonate derivative shows zeolite-like behavior, as exemplified by its ability to absorb volatile guests instantly and reversibly over a wide range of guest pressures. Sorption isotherms with methylene chloride, chloroform, carbon tetrachloride, n-pentane, acetone, THF, and di-Et ether were determined at 30° or over a range of temps. For all guests tested, sorption occurred even at minimal guest pressure, indicating the presence of porosity of the host sorbent even without included species present. The nature of the isotherms as well as other characteristics suggests a phys. mode of sorption on the inner hydrophobic surface of the host pores. With increasing pressure, the isotherms quickly reached plateau values corresponding to a guest/host ratio of 2/3 for compact mols. and to a lower value for n-pentane and di-Et ether. At elevated temps. and low guest pressure, the porous β -form collapses to the dense, α -form of the complex, as does the guest-free β -form. At 70°, the enthalpy of the α -to- β transformation is 1.31(5) kJ/mol as determined from DSC expts. In the β -[CuL2]*2/3(chloroform) compound studied by x-ray diffraction, 1-dimensional channel segments of both larger and smaller widths are filled stoichiometrically with guest species, thus explaining the limiting guest-host ratio observed

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:503100 HCAPLUS
 DOCUMENT NUMBER: 129:169643
 TITLE: Structural Investigations of Vapochromic Behavior. X-ray Single-Crystal and Powder Diffraction Studies of [Pt(CN-iso-C₃H₇)₄][M(CN)₄] for M = Pt or Pd
 AUTHOR(S): Buss, Carrie E.; Anderson, Carolyn E.; Pomije, Marie K.; Lutz, Christopher M.; Britton, Doyle; Mann, Kent R.
 CORPORATE SOURCE: Department of Chemistry, University of Minnesota, Minneapolis, MN, 55455-0431, USA
 SOURCE: Journal of the American Chemical Society (1998), 120(31), 7783-7790
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB We have synthesized [Pt(CN-iso-C₃H₇)₄][M(CN)₄] (M = Pt, Pd) and studied their reversible hydration and sorption properties with UV-vis, FT-IR spectroscopy, and X-ray diffraction. Powder diffraction studies show that anhydrous [Pt(CN-iso-C₃H₇)₄][Pt(CN)₄] and [Pt(CN-iso-C₃H₇)₄][Pd(CN)₄] crystallize in a tetragonal space group with nearly identical lattice consts. Gravimetric studies reveal that variable guest-host stoichiometries occur when solid [Pt(CN-iso-C₃H₇)₄][Pt(CN)₄] sorbs the guest at room temperature from the gas phase [water, 12.1(1) mols. per formula unit, chloroform 6.0(1), methanol 8.0(1), and trifluoroethanol

4.1(1)]; these sorption processes are reversible. The unit cell distances in the tetragonal ab-plane expand dramatically when the solvent guests are sorbed, but changes along the c-axis (the M-M direction) are minimal. Crystallization of [Pt(CN-iso-C₃H₇)₄][Pt(CN)₄] from water gives monoclinic crystals of a hexadecahydrate [Pt(CN-iso-C₃H₇)₄][Pt(CN)₄]·16H₂O. This salt consists of alternating cation/anion chains along b with an average Pt-Pt distance of $b/2 = 3.1521(1) \text{ \AA}$. The sixteen water mols. per formula weight interlace neighboring chains via H-bonding with each other and the CN- ions of the Pt(CN)₄²⁻ units. The shifts in the UV-vis and IR spectra that occur when solvent guests are sorbed by the double complex salts are discussed in terms of the lattice expansions that are observed. A mechanism for the lattice expansions that accompany the sorption of guest mols. is proposed.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil stng

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

37.85

109.32

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-5.46

-10.14

FILE 'STNGUIDE' ENTERED AT 20:44:44 ON 18 MAR 2007

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 16, 2007 (20070316/UP).

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1.98

111.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-10.14

FILE 'REGISTRY' ENTERED AT 21:04:28 ON 18 MAR 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAR 2007 HIGHEST RN 926905-73-9

DICTIONARY FILE UPDATES: 16 MAR 2007 HIGHEST RN 926905-73-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

10747742>19/03/2007

on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> s olanzapine/CN

L22 1 OLANZAPINE/CN

=> d

L22 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN

RN 132539-06-1 REGISTRY

ED Entered STN: 08 Mar 1991

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)

OTHER NAMES:

CN Lanza

CN LY 170053

CN Olanzapine

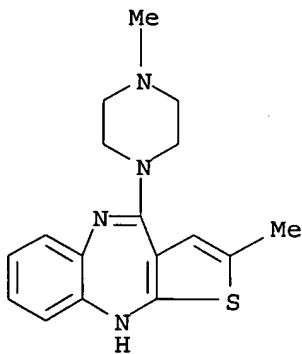
CN Zyprexa

MF C17 H20 N4 S

CI COM

SR US Adopted Names Council (USAN)

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, BIOTECHNO,
CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE,
IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*,
PATDPASPC, PHAR, PIRA, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH,
SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1918 REFERENCES IN FILE CA (1907 TO DATE)

19 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1927 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> STR 132539-06-1

:END

L23 STRUCTURE CREATED

=> s 12 fam ful

NUMERIC VALUE NOT VALID '"ALMARSSON O"'

NUMERIC VALUE NOT VALID '"ALMARSSON OERN"'

NUMERIC VALUE NOT VALID '"ALMARSSON OM"'

NUMERIC VALUE NOT VALID '"ALMARSSON ORN"'

10747742>19/03/2007

0 "ALMARSSON O"/AU
0 "ALMARSSON OERN"/AU
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0 "ALMARSSON ORN"/AU
L24 0 ("ALMARSSON O"/AU OR "ALMARSSON OERN"/AU OR "ALMARSSON OM"/AU
OR "ALMARSSON ORN"/AU)

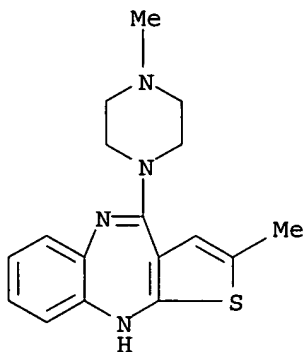
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FULL SEARCH INITIATED 21:07:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 259 TO ITERATE

100.0% PROCESSED 259 ITERATIONS 83 ANSWERS
SEARCH TIME: 00.00.01

L25 83 SEA FAM FUL L23

=> d scan

L25 83 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
, monohydrochloride (9CI)
MF C17 H20 N4 S . Cl H

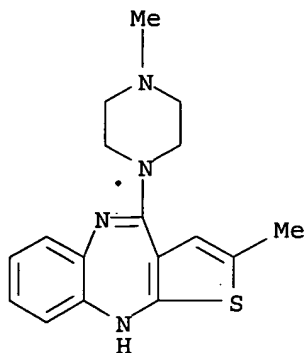


● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L25 83 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Methanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-
b][1,5]benzodiazepine (1:1) (9CI)
MF C17 H20 N4 S . C H4 O

CM 1

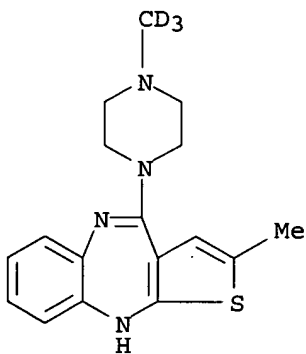


CM 2

H₃C-OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L25 83 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
 IN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-[4-(methyl-d3)-1-piperazinyl]- (9CI)
 MF C17 H17 D3 N4 S



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 125 and glycol
 52739 GLYCOL
 713 GLYCOLS
 52739 GLYCOL
 (GLYCOL OR GLYCOLS)
 L26 0 L25 AND GLYCOL

=> fil stng		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	102.50	213.80
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-10.14

FILE 'STNGUIDE' ENTERED AT 21:09:22 ON 18 MAR 2007
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 AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
 LAST RELOADED: Mar 16, 2007 (20070316/UP).

=> d his

(FILE 'HOME' ENTERED AT 20:15:30 ON 18 MAR 2007)

FILE 'HCAPLUS' ENTERED AT 20:15:38 ON 18 MAR 2007

E TAWA M/AU 25
 L1 13 S (E4 OR E5)
 E ALMARSSON O/AU 25
 L2 91 S (E3 OR E4 OR E5 OR E6)
 E REMENAR J/AU 25
 L3 5 S (E3 OR E4)
 L4 101 S L1-L3
 E PROPYLENE GLYCOL+ALL/CT
 L5 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUN
 L6 17 S L4 AND L5
 E "132539-06-1"/BI,RN 25
 L7 1927 S E3 OR E5 OR E6 OR E7
 L8 2 S L6 AND L7
 E OLANZAPINE+ALL/CT
 L9 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS") OR "ORGANIC COMPOUNDS" O
 L10 6 S L9 AND L4
 L11 4 S L10 NOT L8
 E CORTISONE ACETATE+ALL/CT

FILE 'HCAPLUS' ENTERED AT 20:41:20 ON 18 MAR 2007

E OLANZAPINE+ALL/CT
 L12 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUNDS" OR
 E "132539-06-1"/BI,RN 25
 L13 1927 S E3 OR E5 OR E6 OR E7
 L14 40 S L13 NOT L12
 L15 160654 S L12-13
 E PROPYLENE GLYCOL+ALL/CT
 L16 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUN
 L17 99070 S L15 AND L16
 L18 14504 S SOLVATE
 L19 66 S L18 AND L17
 L20 449041 S DIFFRACTION
 L21 7 S L20 AND L19

FILE 'STNGUIDE' ENTERED AT 20:44:44 ON 18 MAR 2007

FILE 'REGISTRY' ENTERED AT 21:04:28 ON 18 MAR 2007

L22 1 S OLANZAPINE/CN
 L23 STR 132539-06-1
 L24 0 S L2 FAM FUL
 L25 83 S L23 FAM FUL
 L26 0 S L25 AND GLYCOL

FILE 'STNGUIDE' ENTERED AT 21:09:22 ON 18 MAR 2007

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION

10747742>19/03/2007

FULL ESTIMATED COST	0.06	213.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-10.14

FILE 'HCAPLUS' ENTERED AT 21:10:14 ON 18 MAR 2007
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FILE COVERS 1907 - 18 Mar 2007 VOL 146 ISS 13
FILE LAST UPDATED: 16 Mar 2007 (20070316/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l25
L27 1932 L25

=> s l27 and l5
L28 38 L27 AND L5

=> S L28 AND 1800<=PY<=2003
23916714 1800<=PY<=2003
L29 18 L28 AND 1800<=PY<=2003

=> d l29 ibib abs hitstr 1-18

L29 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:63611 HCAPLUS
DOCUMENT NUMBER: 146:148846
TITLE: Pharmaceutical propylene glycol
solvent compositions and method for preparation
thereof
INVENTOR(S): Tawa, Mark; Almarsson, Orn; Remenar, Julius
PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of Appl.
No. PCT/US03/41273.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007015841	A1	20070118	US 2003-747742	20031229
US 6559293	B1	20030506	US 2002-232589	20020903 <--
US 2003166581	A1	20030904	US 2002-295995	20021118 <--
US 6699840	B2	20040302		

US 2003224006	A1	20031204	US 2003-378956	20030303 <--
US 2004019211	A1	20040129	US 2003-449307	20030530
US 7078526	B2	20060718		
WO 2004000284	A1	20031231	WO 2003-US19574	20030620 <--
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US 2005025791	A1	20050203	US 2003-601092	20030620
US 2004053853	A1	20040318	US 2003-637829	20030808
WO 2004078161	A1	20040916	WO 2003-US27772	20030904
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US 2007026078	A1	20070201	US 2003-660202	20030911
WO 2004061433	A1	20040722	WO 2003-US41273	20031224
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WO 2004063152	A2	20040729	WO 2004-US400	20040108
WO 2004063152	A3	20041111		
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WO 2004089313	A2	20041021	WO 2004-US9947	20040331
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ZA 2004007377	A	20051004	ZA 2004-7377	20040914
US 2006140985	A1	20060629	US 2006-541703	20060320
PRIORITY APPLN. INFO.:			US 2002-356764P	P 20020215
			US 2002-360768P	P 20020301
			US 2002-380288P	P 20020515
			US 2002-384152P	P 20020531

US 2002-390881P	P	20020621
US 2002-406974P	P	20020830
US 2002-232589	A1	20020903
US 2002-426275P	P	20021114
US 2002-427086P	P	20021115
US 2002-295995	A3	20021118
US 2002-428515P	P	20021122
US 2002-429515P	P	20021126
US 2002-437516P	P	20021230
US 2003-439282P	P	20030110
US 2003-441335P	P	20030121
US 2003-444315P	P	20030131
US 2003-451213P	P	20030228
US 2003-378956	A2	20030303
US 2003-456027P	P	20030318
US 2003-456608P	P	20030321
US 2003-459501P	P	20030401
US 2003-463962P	P	20030418
US 2003-449307	A2	20030530
US 2003-601092	A2	20030620
WO 2003-US19574	A2	20030620
US 2003-486713P	P	20030711
US 2003-487064P	P	20030711
US 2003-637829	A2	20030808
WO 2003-US27772	A2	20030904
US 2003-660202	A2	20030911
WO 2003-US41273	A2	20031224
US 2003-439283P	P	20030110
WO 2003-US28982	A2	20030916
US 2003-747742	A	20031229
WO 2003-US41642	A	20031229
WO 2004-US400	W	20040108
WO 2004-US6288	A	20040226
US 2004-548343P	P	20040227

AB The present invention provides a pharmaceutical composition comprising a propylene glycol solvate of a drug which is hygroscopic or has low aqueous solubility. It has surprisingly been found that by using propylene glycol to form a solvate of a hygroscopic drug, the hygroscopicity of the drug is decreased and/or the stability and aqueous solubility is increased. The drug is therefore much easier to formulate and store than its counterpart untreated or hydrated form.

IT 724433-99-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(pharmaceutical propylene glycol solvate compns.
and method for preparation thereof)

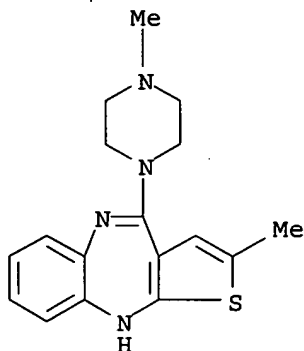
RN 724433-99-2 HCAPLUS

CN 1,2-Propanediol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (9CI) (CA INDEX NAME)

CM 1

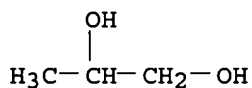
CRN 132539-06-1

CMF C17 H20 N4 S

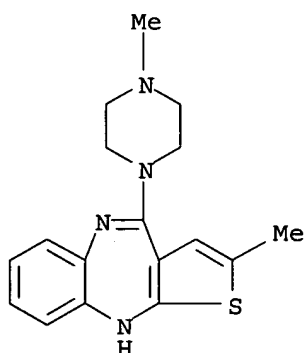


CM 2

CRN 57-55-6
CMF C3 H8 O2



IT 132539-06-1, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical propylene glycol solvate compns.
and method for preparation thereof)
RN 132539-06-1 HCAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



L29 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:142759 HCAPLUS
DOCUMENT NUMBER: 144:239925
TITLE: Solid carriers for improved delivery of active
ingredients containing surfactants and glycerides
INVENTOR(S): Patel, Mahesh
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.
Ser. No. 428,341.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006034937	A1	20060216	US 2005-196805	20050802
US 6248363	B1	20010619	US 1999-447690	19991123 <--
US 2003064097	A1	20030403	US 2001-800593	20010306 <--
US 6569463	B2	20030527		
US 2003215496	A1	20031120	US 2003-428341	20030501 <--
US 6923988	B2	20050802		
WO 2007018943	A2	20070215	WO 2006-US27159	20060712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 1999-447690	A3 19991123
US 2001-800593	A1 20010306
US 2003-428341	A2 20030501
US 2005-196805	A 20050802

AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritional agents, cosmeceuticals and diagnostic agents. For example, particles contained glyburide, PEG stearate, glycerol monolaurate, and Nonpareil seed.

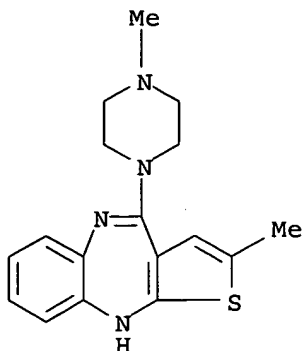
IT 132539-06-1, Olanzapine

RL: COS (Cosmetic use); FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(solid carriers for improved delivery of active ingredients containing surfactants and glycerides)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(CA INDEX NAME)



L29 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1311702 HCAPLUS

DOCUMENT NUMBER: 144:57525

TITLE: Coated vaginal devices for vaginal delivery of therapeutically effective and/or health-promoting agents

INVENTOR(S): Wilson, Michelle; Desai, Kishorkumar J.; Pauletti, Giovanni M.; Antoon, Mitchell K.; Clendening, Chris E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 126,863

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005276836	A1	20051215	US 2005-180076	20050712
US 6197327	B1	20010306	US 1998-79897	19980515 <--
US 6086909	A	20000711	US 1999-249963	19990212 <--
US 6572874	B1	20030603	US 2000-626025	20000727 <--
NZ 508130	A	20020301	NZ 2000-508130	20001113 <--
AU 765269	B2	20030911	AU 2001-54192	20010703 <--
US 2003049302	A1	20030313	US 2002-226667	20020821 <--
US 6982091	B2	20060103		
US 2004005345	A1	20040108	US 2003-349029	20030122
US 6905701	B2	20050614		
US 2004043071	A1	20040304	US 2003-600849	20030620
US 2005249774	A1	20051110	US 2005-126863	20050510
PRIORITY APPLN. INFO.:			US 1997-49325P	P 19970611
			US 1998-79897	A2 19980515
			US 1999-249963	A2 19990212
			US 2000-626025	A2 20000727
			US 2002-226667	A2 20020821
			US 2003-349029	A2 20030122
			US 2003-600849	A2 20030620
			US 2004-587454P	P 20040712
			US 2005-126863	A2 20050510
			AU 1998-76976	A3 19980610
			NZ 1998-502120	A1 19980610
			US 1999-146218P	P 19990728
			US 2001-315877P	P 20010829
			US 2002-390748P	P 20020621

AB Disclosed is a vaginal device for delivering therapeutical and/or health-promoting agents. The vaginal device partly or completely coated

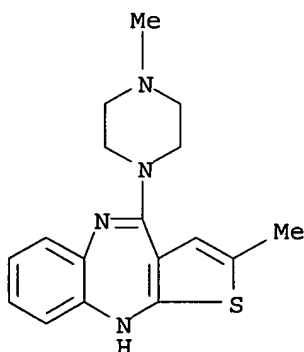
by, covered by or combined with a coating or covering comprising a film, foam, strip, cap, cup or particles. The coating of the device comprises a mucoadhesive composition comprising a therapeutic and/or health-promoting agent. For example, sumatriptan vaginal suppository were prepared from Suppocire AS2X, hydroxypropyl Me cellulose as a mucoadhesive agent, and Transcutol as a permeation enhancer.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coated vaginal devices for vaginal delivery of therapeutically effective and/or health-promoting agents)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



L29 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:77981 HCAPLUS

DOCUMENT NUMBER: 142:162662

TITLE: Nanoparticulate glipizide compositions

INVENTOR(S): Bosch, H. William; Ryde, Niels P.

PATENT ASSIGNEE(S): Elan Pharma International Limited, USA

SOURCE: U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S.

Ser. No. 276,400.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005019412	A1	20050127	US 2003-701064	20031105
US 2002012675	A1	20020131	US 1999-337675	19990622 <--
WO 2001087264	A2	20011122	WO 2001-US15983	20010518 <--
WO 2001087264	A3	20020620		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2004013613 A1 20040122 US 2003-276400 20030115

PRIORITY APPLN. INFO.: US 1998-164351 B2 19981001

US 1999-337675 A2 19990622

WO 2001-US15983 W 20010518

US 2003-276400

A2 20030115

US 2000-572961

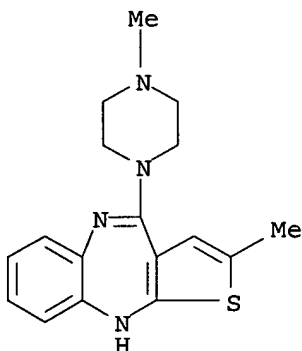
A 20000518

AB The present invention is directed to nanoparticulate compns. comprising glipizide. The glipizide particles of the composition preferably have an effective average particle size of $<2\ \mu$. Thus, a formulation contained spray-dried glipizide 5.33, mannitol 13.47, xylitol 40.53, citric acid 19.60, sodium bicarbonate 19.33, Asparatme 0.28, PEG-4000 0.93, and sodium stearyl fumarate 0.53%.

IT 132539-06-1, Olanzapine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (nanoparticulate glipizide compns.)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



L29 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:589401 HCAPLUS

DOCUMENT NUMBER: 141:128859

TITLE: Pharmaceutical propylene glycol
 solvate compositions

INVENTOR(S): Tawa, Mark; Almarsson, Oern; Remenar, Julius

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 317 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060347	A2	20040722	WO 2003-US41642	20031229
WO 2004060347	A3	20041104		
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US 6559293	B1	20030506	US 2002-232589	20020903 <--
WO 2004000284	A1	20031231	WO 2003-US19574	20030620 <--
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US 2005025791 A1 20050203 US 2003-601092 20030620
 WO 2004026235 A2 20040401 WO 2003-US28982 20030916
 WO 2004026235 A3 20040805

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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WO 2004061433 A1 20040722 WO 2003-US41273 20031224

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003300452 A1 20040729 AU 2003-300452 20031229
 WO 2004089313 A2 20041021 WO 2004-US9947 20040331
 WO 2004089313 A3 20051124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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US 2006223794 A1 20061005 US 2005-551014 20050929
 US 2006140985 A1 20060629 US 2006-541703 20060320

PRIORITY APPLN. INFO.:
 US 2002-232589 A 20020903
 US 2002-437516P P 20021230
 US 2003-441335P P 20030121
 US 2003-456027P P 20030318
 US 2003-456608P P 20030321
 US 2003-459501P P 20030401
 US 2003-601092 A 20030620
 WO 2003-US19574 A 20030620
 US 2003-486713P P 20030711
 WO 2003-US28982 A 20030916
 WO 2003-US41273 A 20031224
 US 2002-356764P P 20020215
 US 2002-360768P P 20020301
 US 2002-380288P P 20020515
 US 2002-384152P P 20020531
 US 2002-390881P P 20020621

US 2002-406974P	P	20020830
US 2002-412459P	P	20020920
US 2002-426275P	P	20021114
US 2002-427086P	P	20021115
US 2002-295995	A3	20021118
US 2002-428515P	P	20021122
US 2002-429515P	P	20021126
US 2003-439282P	P	20030110
US 2003-439283P	P	20030110
US 2003-444315P	P	20030131
US 2003-451213P	P	20030228
US 2003-378956	A	20030303
US 2003-463962P	P	20030418
US 2003-449307	A	20030530
US 2003-487064P	P	20030711
US 2003-637829	A	20030808
WO 2003-US27772	A2	20030904
US 2003-660202	A2	20030911
US 2003-747742	A	20031229
US 2004-747742	A1	20031229
WO 2003-US341642	A	20031229
WO 2003-US41642	W	20031229
WO 2004-US400	W	20040108
WO 2004-US6288	A	20040226
US 2004-548343P	P	20040227
WO 2004-US9947	W	20040331

AB The invention relates to pharmaceutical compns. comprising propylene glycol solvates of active pharmaceutical ingredients (APIs) which are hygroscopic or has low aqueous solubility. The composition comprises solvate characterized by (i) the mole ratio of propylene glycol to API in the range of 0.25 to 2; (ii) a crystalline form, (iii) a powder X-ray diffraction spectrum which differs from the corresponding powder X-ray diffraction spectrum of the unsolvated API by at least one property, (iv) stability to temps. of up to 50° under a stream of gas in a thermogravimetric anal. apparatus, (v) the API is optionally in the form of a metal salt, such as an alkali or an alkaline earth metal salt, (vi) the API has low aqueous solubility and is selected from steroid drugs, and (vii) the composition further comprises a pharmaceutically-acceptable diluent, excipient or carrier. A method for preparing a propylene glycol solvate of an API comprises (a) contacting propylene glycol with an API in solution, (b) crystallizing a propylene glycol solvate of the API from the solution, and (c) isolating the solvate. For example, to a solution of celecoxib (253 mg, 0.664 mmol) in di-Et ether (6 mL) was added propylene glycol (0.075 mL, 102 mmol). To the clear solution was added potassium t-butoxide in THF (1 M, 0.66 mL, 0.66 mmol). Crystals immediately began to form and after 5 min the solid had completely crystallized. The crystalline salt form was found to be a 1:1 propylene glycol solvate of celecoxib potassium salt.

IT 724433-99-2P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and compns. of propylene glycol solvates with hygroscopic or low soluble drugs)

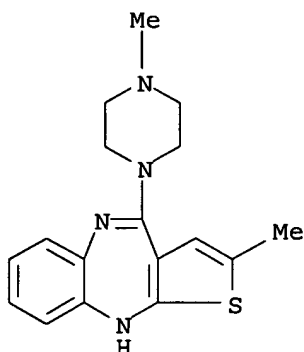
RN 724433-99-2 HCAPLUS

CN 1,2-Propanediol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (9CI) (CA INDEX NAME)

CM 1

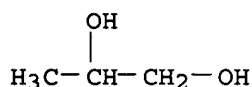
CRN 132539-06-1

CMF C17 H20 N4 S

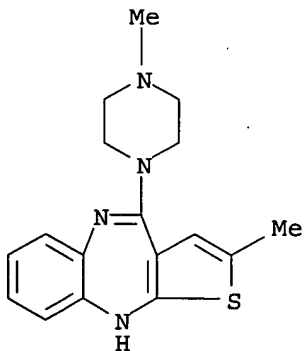


CM 2

CRN 57-55-6
CMF C3 H8 O2



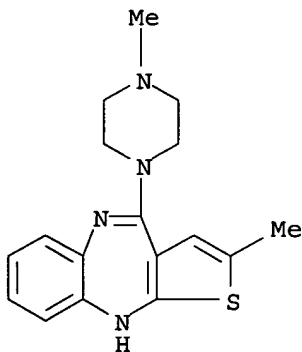
IT 132539-06-1, Olanzapine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and compns. of propylene glycol solvates
with hygroscopic or low soluble drugs)
RN 132539-06-1 HCAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



L29 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:875295 HCAPLUS
DOCUMENT NUMBER: 139:354500
TITLE: Novel crystalline polymorph form VI of olanzapine and
a process for its preparation
INVENTOR(S): Reguri, Buchi Reddy; Chakka, Ramesh
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Cord, Janet I.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091260	A1	20031106	WO 2003-US12414	20030422 <--
WO 2003091260	A9	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MA00311	A	20050304	IN 2002-MA311	20020423
AU 2003243153	A1	20031110	AU 2003-243153	20030422 <--
US 2005153954	A1	20050714	US 2003-509473	20030422
PRIORITY APPLN. INFO.:			IN 2002-MA311	A 20020423
			WO 2003-US12414	W 20030422
AB	A novel crystalline form of 2-methyl-4-(4-methyl-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine), which has a defined X-ray diffraction pattern, is prepared and to its preparation by dissolving olanzapine in a C1-6 alkanol at 0-40° for 30 min to 10 h, isolating the product, and drying it at 40-100°. The olanzapine crystal polymorph is useful for the treatment of CNS disorders (no data).			
IT	132539-06-1, Olanzapine RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (novel crystalline polymorph form VI of olanzapine and a process for its preparation)			
RN	132539-06-1 HCAPLUS			
CN	10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(CA INDEX NAME)			



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:796432 HCAPLUS

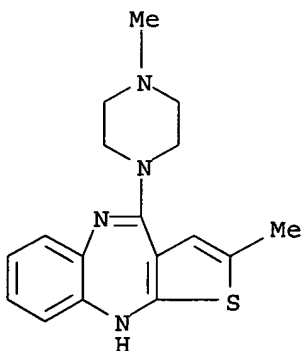
DOCUMENT NUMBER: 139:302061

TITLE: Synergy of dopamine D2 and adenosine A2 receptors activates protein kinase A (PKA) signaling via β/γ dimers, and use in the treatment of drug abuse and drug withdrawal

INVENTOR(S): Gordon, Adrienne S.; Diamond, Ivan F.; Yao, Lina

PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082211	A2	20031009	WO 2003-US9629	20030327 <--
WO 2003082211	A3	20041216		
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AU 2003241281	A1	20031013	AU 2003-241281	20030327 <--
PRIORITY APPLN. INFO.:			US 2002-368417P	P 20020327
			WO 2003-US9629	W 20030327
AB	The invention pertains to the discovery that a dopamine receptor agonist can activate PKA signaling and/or can act synergistically with an adenosine receptor to activate such signaling. In various embodiments, the invention exploits the synergy between the dopamine receptor pathway and an adenosine receptor pathway to provide methods of mitigating one or more symptoms produced by the chronic consumption of a substance of abuse or to mitigate one or more physiol. and/or behavioral symptoms associated with cessation of chronic consumption of a substance of abuse. In certain embodiments, the methods involve administering to a mammal an effective amount of an adenosine receptor antagonist and an effective amount of a dopamine receptor antagonist, where the effective amount of the adenosine receptor antagonist is lower than the effective amount of an adenosine receptor antagonist administered without the dopamine receptor antagonist.			
IT	132539-06-1, , Olanzapine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (synergy of dopamine D2 and adenosine A2 receptors activates protein kinase A signaling via β/γ dimers, and use in treatment of drug abuse and drug withdrawal)			
RN	132539-06-1 HCAPLUS			
CN	10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (CA INDEX NAME)			



L29 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

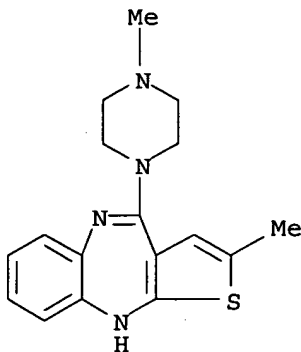
ACCESSION NUMBER: 2003:512084 HCAPLUS
 DOCUMENT NUMBER: 139:74001
 TITLE: Preparation of crystalline form I of olanzapine
 INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev;
 Thennati, Rajamamannar
 PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
 SOURCE: U.S. Pat. Appl. Publ., 6 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003125322	A1	20030703	US 2002-326397	20021223 <--
US 6906062	B2	20050614		
CA 2471341	A1	20030710	CA 2002-2471341	20021223 <--
WO 2003055438	A2	20030710	WO 2002-IN241	20021223 <--
WO 2003055438	A3	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002367119	A1	20030715	AU 2002-367119	20021223 <--
EP 1470130	A2	20041027	EP 2002-805871	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005513144	T	20050512	JP 2003-556017	20021223
CH 695862	A5	20060929	CH 2002-2198	20021223
BE 1015037	A6	20040803	BE 2002-744	20021224
PRIORITY APPLN. INFO.:				
			IN 2001-MU1211	A 20011224
			WO 2002-IN241	W 20021223

AB Crystalline Form I of olanzapine is characterized by x-ray powder diffraction IR absorbance bands. The compound has a stable color at ambient conditions of storage and its preparation comprises at least 2 repetitive steps of crystallization from 1 or more organic solvents by dissolving olanzapine in the solvent and allowing crystallization to occur. In at least 1 step the solution is purified by treating with a solid adsorbent material and filtering, and in the last step the cryst.material is subjected to drying. Olanzapine along with 0.75 L of absolute ethanol is stirred at 30°. The contents of the flask are gradually heated to 77-78° to obtain a clear solution and then stirred for 15 mins at 77-78°. Gradually it was allowed to cool to 55-57°. During the process of cooling to 55-57° the solution is seeded with olanzapine Form I at an interval of every 5° until the seed remains undissolved. The contents are further cooled to 30-34° and then to 10°. The solid product is filtered and washed with chilled absolute alc. and sucked dry. The product is dried under vacuum at 47-50° until constant weight to obtain 33 g (yield 66% weight/weight) of Form 1.

IT 132539-06-1P, Olanzapine
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of crystalline form I of olanzapine)
 RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:319255 HCAPLUS

DOCUMENT NUMBER: 138:343854

TITLE: Buccal sprays or capsules containing drugs for
treating disorders of the central nervous system

INVENTOR(S): Dugger, Harry A., III

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S.
Ser. No. 537,118.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 19

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077227	A1	20030424	US 2002-230060	20020829 <--
WO 9916417	A1	19990408	WO 1997-US17899	19971001 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
EP 1029536	A1	20000823	EP 2000-109347	19971001 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
EP 1036561	A1	20000920	EP 2000-109357	19971001 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
CA 2497262	A1	20040429	CA 2003-2497262	20030827
WO 2004035021	A2	20040429	WO 2003-US26847	20030827
WO 2004035021	A3	20041111		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003298564	A1	20040504	AU 2003-298564	20030827
EP 1539106	A2	20050615	EP 2003-796314	20030827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505569	T	20060216	JP 2004-545251	20030827
US 2004141923	A1	20040722	US 2003-671720	20030929
US 2004265239	A1	20041230	US 2003-671715	20030929
US 2005163719	A1	20050728	US 2003-671709	20030929
US 2004120895	A1	20040624	US 2003-726585	20031204
US 6977070	B2	20051220		
US 2005002867	A1	20050106	US 2004-834815	20040427
US 2006159624	A1	20060720	US 2006-384444	20060321
US 2006171896	A1	20060803	US 2006-391297	20060329
US 2006222597	A1	20061005	US 2006-442137	20060530
US 2006216240	A1	20060928	US 2006-443253	20060531
US 2006216241	A1	20060928	US 2006-443254	20060531

PRIORITY APPLN. INFO.:

WO 1997-US17899	A2	19971001
US 2000-537118	A2	20000329
EP 1997-911621	A3	19971001
US 2002-230060	A	20020829
WO 2003-US26847	W	20030827
US 2003-671709	A3	20030929
US 2003-671715	A3	20030929
US 2003-671720	A3	20030929
US 2004-834815	A3	20040427

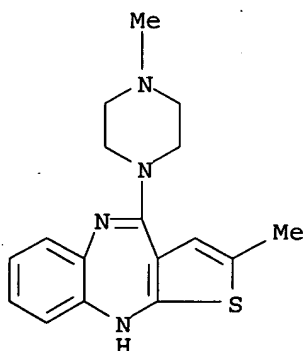
AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent, active compound, and optional flavoring agent; formulation B: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a lingual spray contained sumatriptan succinate 10-15, EtOH 10-20, propylene glycol 10-15, PEG 35-40, water 10-15, and flavors 2-3%.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(buccal sprays or capsule containing drugs for treating disorders of central nervous system)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



L29 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:487335 HCAPLUS
 DOCUMENT NUMBER: 137:68153
 TITLE: Novel in-situ forming polymer-based controlled release microcarrier delivery systems
 INVENTOR(S): Bhagwatwar, Harshal Prabhakar; Bapat, Varada Ramesh; Paithankar, Mahesh Balkrishna; Yeola, Bhushan Subhash; Gosavi, Arun Shriniwas; Bagool, Manoj Anil; Shetty, Nitin; Shukla, Milind Chintaman; De Souza, Noel John; Khorakiwala, Habil Fakhruddin
 PATENT ASSIGNEE(S): India
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

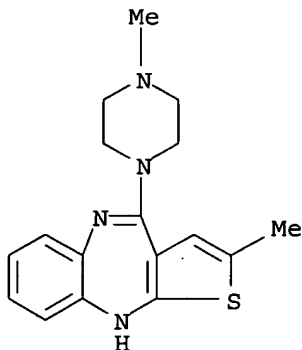
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049573	A2	20020627	WO 2001-IN219	20011214 <--
WO 2002049573	A3	20030130		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003049320	A1	20030313	US 2001-23427	20011212 <--
CA 2436149	A1	20020627	CA 2001-2436149	20011214 <--
AU 2002022505	A5	20020701	AU 2002-22505	20011214 <--
EP 1363556	A2	20031126	EP 2001-271193	20011214 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-256319P P 20001218
 WO 2001-IN219 W 20011214

AB A ready-to use, stable, gelled polymer droplet-in-oil dispersion is described which helps in in-situ formation of a multitude of small solid, semisolid, or gelled microcarriers. The dispersion is placed into a body in a semisolid form and cures to form the delivery system in-situ. The process for making such a dispersion comprises the steps of (i) dissolving a polymer in a biocompatible solvent at an elevated temperature to form a polymer solution, (ii) preparing a second oil phase solution of a biocompatible emulsifier at an elevated temperature, (iii) mixing the polymer solution with the oil phase solution at an elevated temperature and subsequently cooling to refrigeration temperature. Placing the gelled dispersion within a body produces the microcarrier delivery system in-situ. The composition of a syringeable, biodegradable dispersion incorporating an effective level of a biol. active agent before injection into a body provides a novel controlled delivery system of drugs for health-care applications. Thus, Poly(DL-lactide-co-glycolide) was dissolved in DMSO to form a polymer solution of a 30% weight/weight concentration. To this solution was added leuprolide acetate to form a 10% weight/weight solution of the drug with respect to the polymer. The polymer solution was injected by into a continuous oil phase comprising a 20% weight/weight solution of sorbitan monostearate (Arlacel 60) in super refined sesame seed oil maintained at 70-75°, accompanied by high speed homogenization at 13,000 rpm, for 3 min. The resulting polymer droplet-in-oil dispersion was cooled to room temperature with continuous mixing to obtain an opaque mass with a gel-like consistency, which did not flow.

The gel was stored under refrigerated conditions until further use. The gel was smooth to the touch with an absence of any gritty particles. Microscopic observation of the gel revealed discrete distorted blue colored droplets of the discontinuous phase dispersed within the continuous oil phase.

IT 132539-06-1, Olanzapine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in-situ forming polymer-based controlled release microcarrier delivery systems)
 RN 132539-06-1 HCAPLUS
 CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(CA INDEX NAME)



L29 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:465744 HCAPLUS

DOCUMENT NUMBER: 137:37658

TITLE: Process for the preparation of a fast dissolving dosage form

INVENTOR(S): Murpani, Deepak; Malik, Rajiv

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

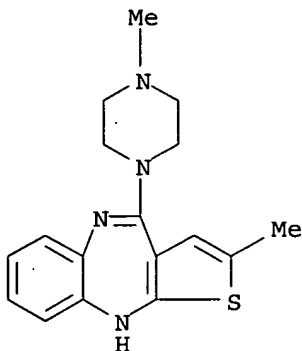
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047607	A2	20020620	WO 2001-IB2354	20011207 <-
WO 2002047607	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 192750	A1	20040515	IN 2000-DE1170	20001215
AU 2002020968	A5	20020624	AU 2002-20968	20011207 <--
EP 1343481	A2	20030917	EP 2001-270300	20011207 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN: INFO.:			IN 2000-DE1170	A 20001215
			WO 2001-IB2354	W 20011207

AB The present invention relates to a process for the preparation of fast dissolving dosage form, such as tablet, which disintegrates quickly in the mouth. The process of this invention is particularly suitable for moisture sensitive, poorly compressible and bitter drugs having a taste mask coating. A table composition contained rofecoxib 25.0, Aspartame 1.0, orange flavor 2.0, Croscarmellose sodium 9.0, PEG 8000 60.0, and sorbitol 233.0 mg.

IT 132539-06-1, Olanzapine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of a fast dissolving dosage form)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



L29 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:136045 HCAPLUS

DOCUMENT NUMBER: 136:172816

TITLE: Polymorphic forms of olanzapine

INVENTOR(S): Hamied, Yusuf K.; Kankan, Rajendra N.; Rao, Dharmaraj R.

PATENT ASSIGNEE(S): U & I Pharmaceuticals Ltd., USA

SOURCE: U.S., 20 pp.
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6348458	B1	20020219	US 2000-540749	20000331 <--
IN 187439	A1	20020427	IN 1999-B0977	19991228 <--
IN 1999B000972	A	20050304	IN 1999-B0972	19991228
CA 2395774	A1	20010705	CA 2000-2395774	20001222 <--
WO 2001047933	A1	20010705	WO 2000-GB4982	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 200120176	A	20010709	AU 2001-20176	20001222 <--
AU 779452	B2	20050127		
EP 1246827	A1	20021009	EP 2000-983422	20001222 <--

EP 1246827 B1 20050413
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

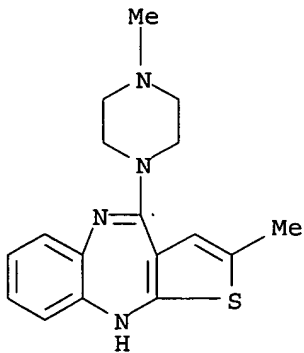
DE 20023184	U1	20030508	DE 2000-20023184	20001222 <--
NZ 519926	A	20040227	NZ 2000-519926	20001222
NZ 528520	A	20040827	NZ 2000-528520	20001222
AT 293113	T	20050415	AT 2000-983422	20001222
ES 2240215	T3	20051016	ES 2000-983422	20001222
US 2002165225	A1	20021107	US 2001-26949	20011227 <--
US 7022698	B2	20060404		
ZA 2002005228	A	20030630	ZA 2002-5228	20020628 <--

PRIORITY APPLN. INFO.:
 IN 1999-B0972 A 19991228
 IN 1999-B0977 A 19991228
 US 2000-540749 A 20000331
 EP 2000-983422 A 20001222
 NZ 2000-519926 A1 20001222
 WO 2000-GB4982 A 20001222

AB The invention provides 3 new polymorphic forms of olanzapine, a process for preparing the new polymorphs and pharmaceutical compns. containing the polymorphs. The new polymorphic forms of olanzapine are useful for the treatment of psychotic conditions, mild anxiety and gastrointestinal conditions. Form I olanzapine (10 g) was dissolved in a mixture of 30 mL HOAc and 30 mL water by stirring. Activated charcoal (0.5 g) was added and the contents filtered over celite. The clear solution was maintained at 20° and 15% aqueous ammonia solution was added over a period of 30 min to adjust the pH to 8. The contents were filtered and dried to obtain Form III olanzapine (9.6 g), which was characterized by IR and XRD.

IT 132539-06-1, Olanzapine
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (polymorphic forms of olanzapine)

RN 132539-06-1 HCAPLUS
 CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:489405 HCAPLUS

DOCUMENT NUMBER: 135:76906

TITLE: Preparation and characterization of new polymorphic crystal forms of olanzapine

INVENTOR(S): Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra

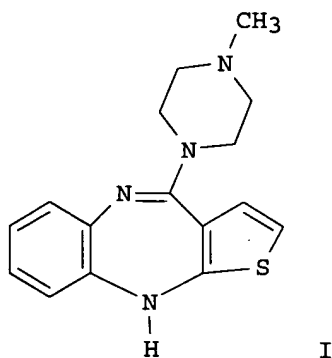
PATENT ASSIGNEE(S): Cipla Ltd., India; Wain, Christopher, Paul

SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047933	A1	20010705	WO 2000-GB4982	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IN 187439	A1	20020427	IN 1999-BO977	19991228 <--
US 6348458	B1	20020219	US 2000-540749	20000331 <--
CA 2395774	A1	20010705	CA 2000-2395774	20001222 <--
AU 200120176	A	20010709	AU 2001-20176	20001222 <--
AU 779452	B2	20050127		
EP 1246827	A1	20021009	EP 2000-983422	20001222 <--
EP 1246827	B1	20050413		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 519926	A	20040227	NZ 2000-519926	20001222
AT 293113	T	20050415	AT 2000-983422	20001222
ZA 2002005228	A	20030630	ZA 2002-5228	20020628 <--
PRIORITY APPLN. INFO.:			IN 1999-BO977	A 19991228
			US 2000-540749	A 20000331
			IN 1999-BO972	A 19991228
			WO 2000-GB4982	A 20001222

GI



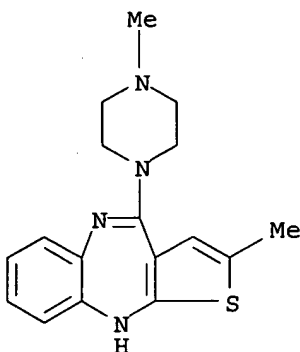
AB Three new polymorphic forms of 2-methyl-4-[4-methyl-1-piperazinyl]-10H-thieno[2,3-b][1,5]benzodiazepine (I; i.e., olanzapine), an antipsychotic (no data) and anxiolytic (no data), are prepared by dissolving the initial I polymorph in aqueous acidic solns. (e.g., AcOH) and precipitating a different I crystal polymorph by neutralization with a base (e.g., aqueous sodium hydroxide). The new polymorphic I forms are characterized via X-ray powder diffraction and FT-IR.

IT 132539-06-1, Olanzapine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)

(preparation and characterization of new polymorphic crystal forms of olanzapine)

RN 132539-06-1 HCAPLUS
 CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:725436 HCAPLUS

DOCUMENT NUMBER: 133:301171

TITLE: Compositions and methods for improved delivery of
 ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6383471	B1	20020507	US 1999-287043	19990406 <--
CA 2366702	A1	20001012	CA 2000-2366702	20000316 <--
EP 1165048	A1	20020102	EP 2000-916547	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-287043 A 19990406
 WO 2000-US7342 W 20000316

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such comps. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent.

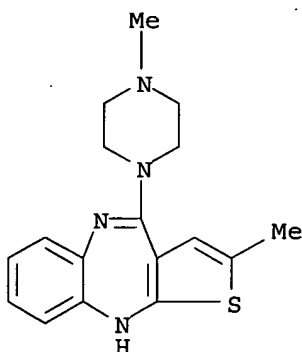
The comps. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical comps. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:553397 HCAPLUS

DOCUMENT NUMBER: 133:168375

TITLE: Method of manufacture for transdermal matrixes

INVENTOR(S): Audett, Jay D.; Detroyer, Georges D.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

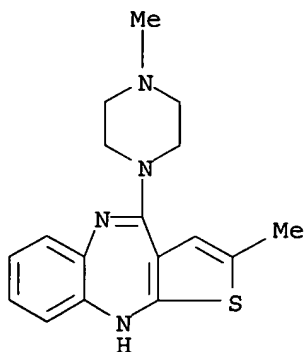
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000045797	A1	20000810	WO 2000-US2491	20000201 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-241662 A 19990202

AB Disclosed is a method of manufacture for the production of transdermal drug delivery matrixes and devices, transdermal sampling devices, and dermal conditioning devices. A polymer and an active agent are mixed and heated in a multiple-lobed compounder to produce a polymer mixture. The polymer mixture is extruded and then at least a portion of the extrudate is formed into, for example, the transdermal drug delivery matrix, or incorporated

into the transdermal drug delivery device. These alternative methods for preparing transdermal matrixes have several advantages over the current methods of manufacture. The matrix components, particularly the active agent, are not exposed to extremes in solvent or temperature for extended periods of time during the manufacture process. The transdermal matrixes prepared by these methods perform better in transdermal devices and show greater flux of active agent. As a result of the improved performance, less active agent may be utilized during the manufacturing process, and smaller or thinner transdermal matrixes may be produced for incorporation into the corresponding transdermal device. An olanzapine transdermal matrix was prepared using a twin screw extruder as follows; HMW polyisobutylene (Vistanex L80) was blended with LMW polyisobutylene, silica gel powder, and PVP. Sep., olanzapine and lauryl lactate were processed and blended with the polymeric mixts. The resulting mixture was extruded through a sheet die and coated between a release liner and backing material. A second layer of the same extrudate was coated between a second release liner and a polyester nonwoven porous supporting layer. The release liner from the first coating pass was removed and the exposed extrudate was laminated to the nonwoven side of the second coating pass, sandwiching the porous supporting layer between the two extrudates. The rolls of laminate were converted to transdermal devices of the desired size.

IT 132539-06-1, Olanzapine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (manufacture of transdermal matrixes using pressure-sensitive adhesives)
 RN 132539-06-1 HCAPLUS
 CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:316525 HCAPLUS

DOCUMENT NUMBER: 130:343012

TITLE: Polyurethane hydrogel drug reservoirs for use in transdermal drug delivery systems, and associated methods of manufacture and use

INVENTOR(S): Chen, Tung-fen; Chiang, Chia-ming; Jona, Janan; Joshi, Priti; Ramdas, Asha

PATENT ASSIGNEE(S): Cygnus, Inc., USA

SOURCE: U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 581,128, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5902603	A	19990511	US 1996-713711	19960913 <--
PRIORITY APPLN. INFO.:			US 1995-528105	B2 19950914
			US 1995-581128	B2 19951229

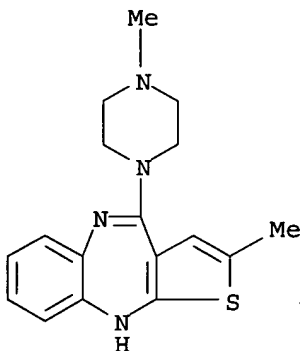
AB High capacity drug reservoirs are provided for incorporation into transdermal drug delivery systems. The drug reservoirs are hydrogels formulated from polyurethanes crosslinked with diisocyanate crosslinking agents or cured with radiation in the presence of a photoinitiator. Drug loading as high as 65 to 70 % or higher can be achieved by absorbing drug formulation into the reservoir after hydrogel synthesis. Methods for making and using transdermal systems containing such reservoirs are provided as well. Olanzapine was dissolved in a combination of vehicles containing Me laurate 10, lauryl lactate 45, and 1,2-butanediol 45 %, added with water to Hypol PreMA G-50 polymer (Hampshire Chemical Corporation) (the ratio of water to polymer was approx. 2:1) and mixed together until a hydrogel was formed. The gel was cut into circles and applied onto human cadaver skin using a Franz diffusion cell and at predetd. times, the receiver fluid was replaced with fresh fluid and analyzed for olanzapine using HPLC.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyurethane hydrogel reservoirs for steroid transdermal delivery systems containing permeation enhancers)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:603230 HCAPLUS

DOCUMENT NUMBER: 129:207225

TITLE: Transdermal delivery of basic drugs using nonpolar adhesive systems and acidic solubilizing agents

INVENTOR(S): Audett, Jay; Bailey, Susan E.

PATENT ASSIGNEE(S): Cygnus, Inc., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837870	A1	19980903	WO 1998-US3832	19980227 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
 FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
 GA, GN, ML, MR, NE, SN, TD, TG

CA 2252772 A1 19980903 CA 1998-2252772 19980227 <--
 CA 2252772 C 20020423
 AU 9866709 A 19980918 AU 1998-66709 19980227 <--
 EP 910353 A1 19990428 EP 1998-908760 19980227 <--
 EP 910353 B1 20040721

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI

JP 2000509734 T 20000802 JP 1998-537871 19980227 <--
 AT 271381 T 20040815 AT 1998-908760 19980227
 PT 910353 T 20041130 PT 1998-908760 19980227
 ES 2226102 T3 20050316 ES 1998-908760 19980227

PRIORITY APPLN. INFO.:

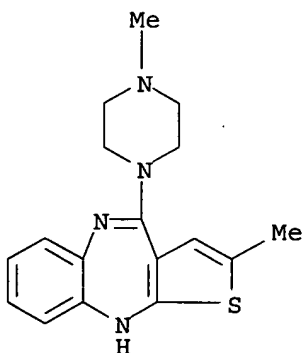
US 1997-808211 A 19970228
 WO 1998-US3832 W 19980227

AB Solubilization enhancer compns. are provided which facilitate transdermal administration of basic drugs from transdermal systems composed of nonpolar adhesive materials. Preferred solubilization enhancer compns. are comprised of liquid, isomeric acid mixts. such as oleic acid dimer. The invention also relates to novel transdermal systems, drug reservoirs, formulations, and methods of drug administration, in which the disclosed solubilization enhancer compns. are used. Good skin flux was observed during 2 days with a composition containing 2% tamsulosin, 2% lauric acid, 15% silica gel, 81% polyisobutylene at a 35 mg/cm² coating weight, and 25% 1,3-butanediol-propylene glycol monolaurate 90 (9.5:0.5).

IT 132539-06-1, Olanzapine
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (transdermal delivery of basic drugs using nonpolar adhesive systems and acidic solubilizers)

RN 132539-06-1 HCAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
 (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:293899 HCAPLUS

DOCUMENT NUMBER: 126:268535

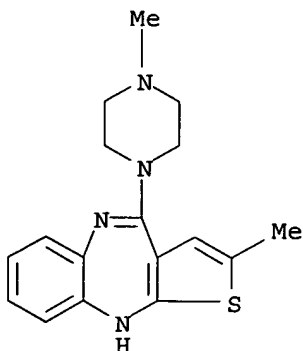
TITLE: Transdermal administration of olanzapine

INVENTOR(S): Jona, Janan; Joshi, Priti; Ramdas, Asha

PATENT ASSIGNEE(S): Cygnus, Inc., USA

SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709985	A1	19970320	WO 1996-US14713	19960911 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
AU 9670705	A	19970401	AU 1996-70705	19960911 <--
PRIORITY APPLN. INFO.: US 1995-528106 A 19950914				
WO 1996-US14713 W 19960911				
AB	Transdermal administration of olanzapine and pharmaceutically acceptable acid addition salts thereof is described. The method involves treating an individual suffering from or susceptible to psychosis, acute mania or mild anxiety states, particularly those afflicted with schizophrenia, by administering olanzapine or a salt thereof through the skin or mucosal tissue, for a time period and at an administration rate effective to alleviate the symptoms of the disease. The drug is administered along with a skin permeation enhancer selected from C2-6-alkanediols, fatty esters, fatty acids, and fatty alcs. Olanzapine was dissolved in a vehicle containing 1,2-butanediol 90 and propylene glycol monolaurate 10 % and applied to human cadaver skin using a Franz diffusion cell to demonstrate effective skin flux.			
IT	132539-06-1, Olanzapine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (transdermal administration of olanzapine)			
RN	132539-06-1 HCAPLUS			
CN	10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (CA INDEX NAME)			



=> fil stng

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

100.06

TOTAL

SESSION

313.92

SINCE FILE

ENTRY

TOTAL

SESSION

CA SUBSCRIBER PRICE

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-24.18

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FILE CONTAINS CURRENT INFORMATION.
 LAST RELOADED: Mar 16, 2007 (20070316/UP).

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(FILE 'HOME' ENTERED AT 20:15:30 ON 18 MAR 2007)

FILE 'HCAPLUS' ENTERED AT 20:15:38 ON 18 MAR 2007

E TAWA M/AU 25
 L1 13 S (E4 OR E5)
 E ALMARSSON O/AU 25
 L2 91 S (E3 OR E4 OR E5 OR E6)
 E REMENAR J/AU 25
 L3 5 S (E3 OR E4)
 L4 101 S L1-L3
 E PROPYLENE GLYCOL+ALL/CT
 L5 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUN
 L6 17 S L4 AND L5
 E "132539-06-1"/BI,RN 25
 L7 1927 S E3 OR E5 OR E6 OR E7
 L8 2 S L6 AND L7
 E OLANZAPINE+ALL/CT
 L9 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS") OR "ORGANIC COMPOUNDS" O
 L10 6 S L9 AND L4
 L11 4 S L10 NOT L8
 E CORTISONE ACETATE+ALL/CT

FILE 'HCAPLUS' ENTERED AT 20:41:20 ON 18 MAR 2007

E OLANZAPINE+ALL/CT
 L12 160614 S (OLANZAPINE OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUNDS" OR
 E "132539-06-1"/BI,RN 25
 L13 1927 S E3 OR E5 OR E6 OR E7
 L14 40 S L13 NOT L12
 L15 160654 S L12-13
 E PROPYLENE GLYCOL+ALL/CT
 L16 358118 S (PROPYLENE GLYCOL OR "CHEMICAL COMPOUNDS" OR "ORGANIC COMPOUN
 L17 99070 S L15 AND L16
 L18 14504 S SOLVATE
 L19 66 S L18 AND L17
 L20 449041 S DIFFRACTION
 L21 7 S L20 AND L19

FILE 'STNGUIDE' ENTERED AT 20:44:44 ON 18 MAR 2007

FILE 'REGISTRY' ENTERED AT 21:04:28 ON 18 MAR 2007

L22 1 S OLANZAPINE/CN
 L23 STR 132539-06-1
 L24 0 S L2 FAM FUL
 L25 83 S L23 FAM FUL

10747742>19/03/2007

L26 0 S L25 AND GLYCOL

FILE 'STNGUIDE' ENTERED AT 21:09:22 ON 18 MAR 2007

FILE 'HCAPLUS' ENTERED AT 21:10:14 ON 18 MAR 2007

L27 1932 S L25

L28 38 S L27 AND L5

L29 18 S L28 AND 1800<=PY<=2003

FILE 'STNGUIDE' ENTERED AT 21:11:26 ON 18 MAR 2007

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

2.46

316.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-24.18

FILE 'REGISTRY' ENTERED AT 21:35:58 ON 18 MAR 2007

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STRUCTURE FILE UPDATES: 16 MAR 2007 HIGHEST RN 926905-73-9

DICTIONARY FILE UPDATES: 16 MAR 2007 HIGHEST RN 926905-73-9

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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<http://www.cas.org/ONLINE/UG/regprops.html>

=> s cortisone acetate/CN

L30 1 CORTISONE ACETATE/CN

=> d l30

L30 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN

RN 50-04-4 REGISTRY

ED Entered STN: 16 Nov 1984

CN Pregn-4-ene-3,11,20-trione, 21-(acetyloxy)-17-hydroxy- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Cortisone, 21-acetate (8CI)

CN Pregn-4-ene-3,11,20-trione, 17,21-dihydroxy-, 21-acetate (7CI)

OTHER NAMES:

CN 17,21-Dihydroxypregn-4-ene-3,11,20-trione 21 acetate

CN 21-Acetoxy-17-hydroxypregn-4-ene-3,11,20-trione

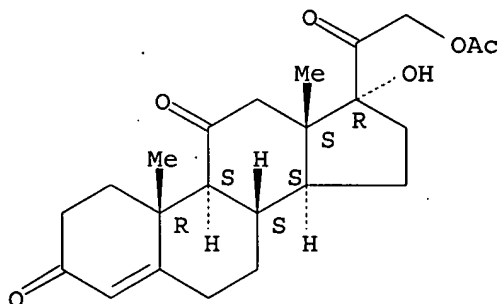
CN 21-Acetoxy-17 α -hydroxy-3,11,20-triketopregnene-4

CN 21-Acetoxy-17 α -hydroxypregn-4-ene-3,11,20-trione

CN 4-Pregnene-17 α ,21-diol-3,11,20-trione 21-acetate

CN Adreson
 CN Artriona
 CN Biocort Acetate
 CN Compound E Acetate
 CN Corlin
 CN Cortadren
 CN Cortelan
 CN Cortisone acetate
 CN Cortistab
 CN Cortisyl
 CN Cortisyl Artriona
 CN Cortone acetate
 CN Incortin
 CN Irisone acetate
 CN NSC 49420
 CN Ricortex
 CN Scheroson
 FS STEREOSEARCH
 DR 478614-09-4, 29253-51-8
 MF C23 H30 O6
 CI COM
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOSIS,
 BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS,
 CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IFICDB,
 IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, PROMT, PS,
 RTECS*, SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
 (*File contains numerically searchable property data)
 Other Sources: EINECS**
 (**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1413 REFERENCES IN FILE CA (1907 TO DATE)
 7 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 1415 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s hydrocortisone/CN
 L31 0 HYDROCORTISONE/CN

=> s hydrocortisone/CN
 L32 1 HYDROCORTISONE/CN

=> d 132

L32 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
 RN 50-23-7 REGISTRY

ED Entered STN: 16 Nov 1984

CN Pregn-4-ene-3,20-dione, 11,17,21-trihydroxy-, (11 β)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Cortisol (8CI)

OTHER NAMES:

CN 11 β ,17,21-Trihydroxypregn-4-ene-3,20-dioneCN 11 β ,17,21-TrihydroxyprogesteroneCN 11 β ,17 α ,21-Trihydroxypregn-4-ene-3,20-dioneCN 11 β -Hydroxycortisone

CN 17-Hydroxycorticosterone

CN 17 α -HydroxycorticosteroneCN 4-Pregnene-11 β ,17 α ,21-triol-3,20-dione

CN Acticort

CN Aeroseb HC

CN Ala-Cort

CN Anflam

CN Anti-inflammatory hormone

CN CaldeCort Spray

CN CCN 90306A

CN Cetacort

CN Cobadex

CN Cort-Dome

CN Cortanal

CN Cortef

CN Cortenema

CN Corticreme

CN Cortifan

CN Cortiment

CN Cortispray

CN Cortonema

CN Cortril

CN Dermacort

CN Dermocortal

CN Dermolate

CN Dihydrocortisone

CN Dioderm

CN Domolene-HC

CN Efcorbin

CN Efcortelan

CN Eldecort

CN Epiderm H

CN Esiderm H

CN Evacort

CN Ficortril

CN Genacort

CN HC

CN Heb-Cort

CN Hidro-Colisona

CN Hycort

CN Hycortol

CN Hycortole

CN Hydracort

CN Hydrasson

CN Hydro-Adreson

CN Hydrocortisone

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for
DISPLAY

FS STEREOSEARCH

DR 8056-08-4, 8063-42-1, 80562-38-5

MF C21 H30 O5

CI COM

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOSIS,
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CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DRUGU,